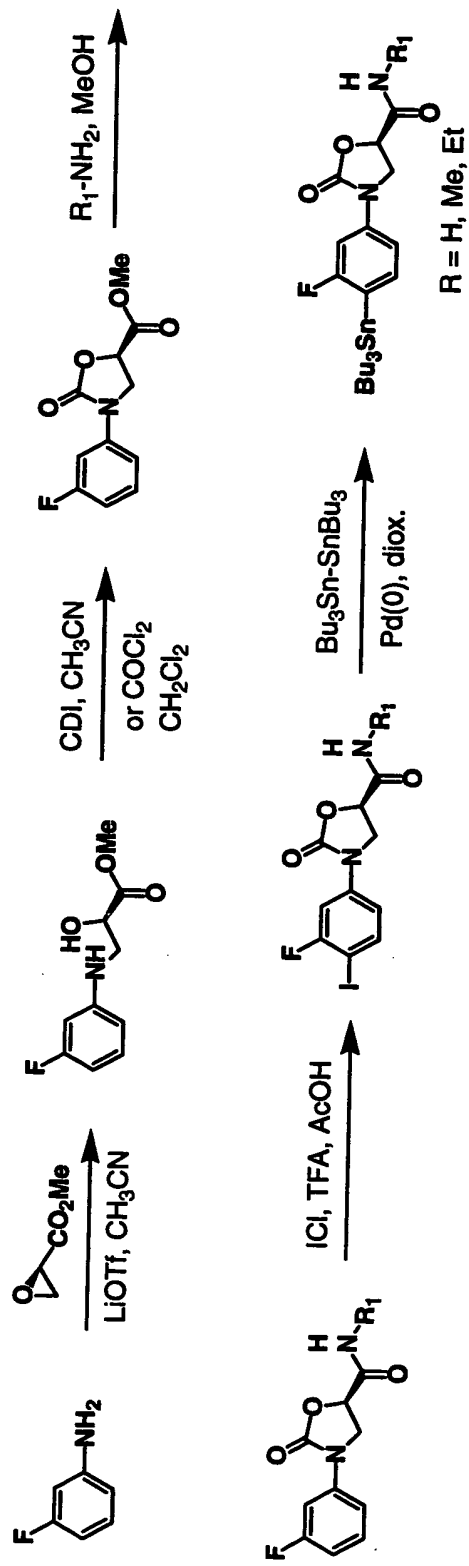


FIGURE I

C-5 Carboxamides



C-5 Ester

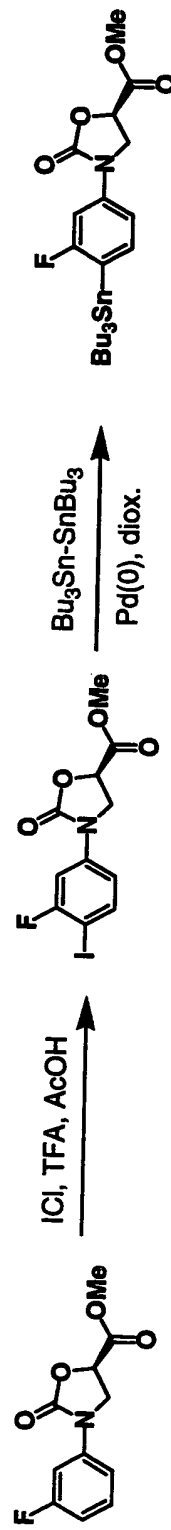


FIGURE II

Synthetic Routes to Key Heterocyclic Pyridines/Pyrimidines

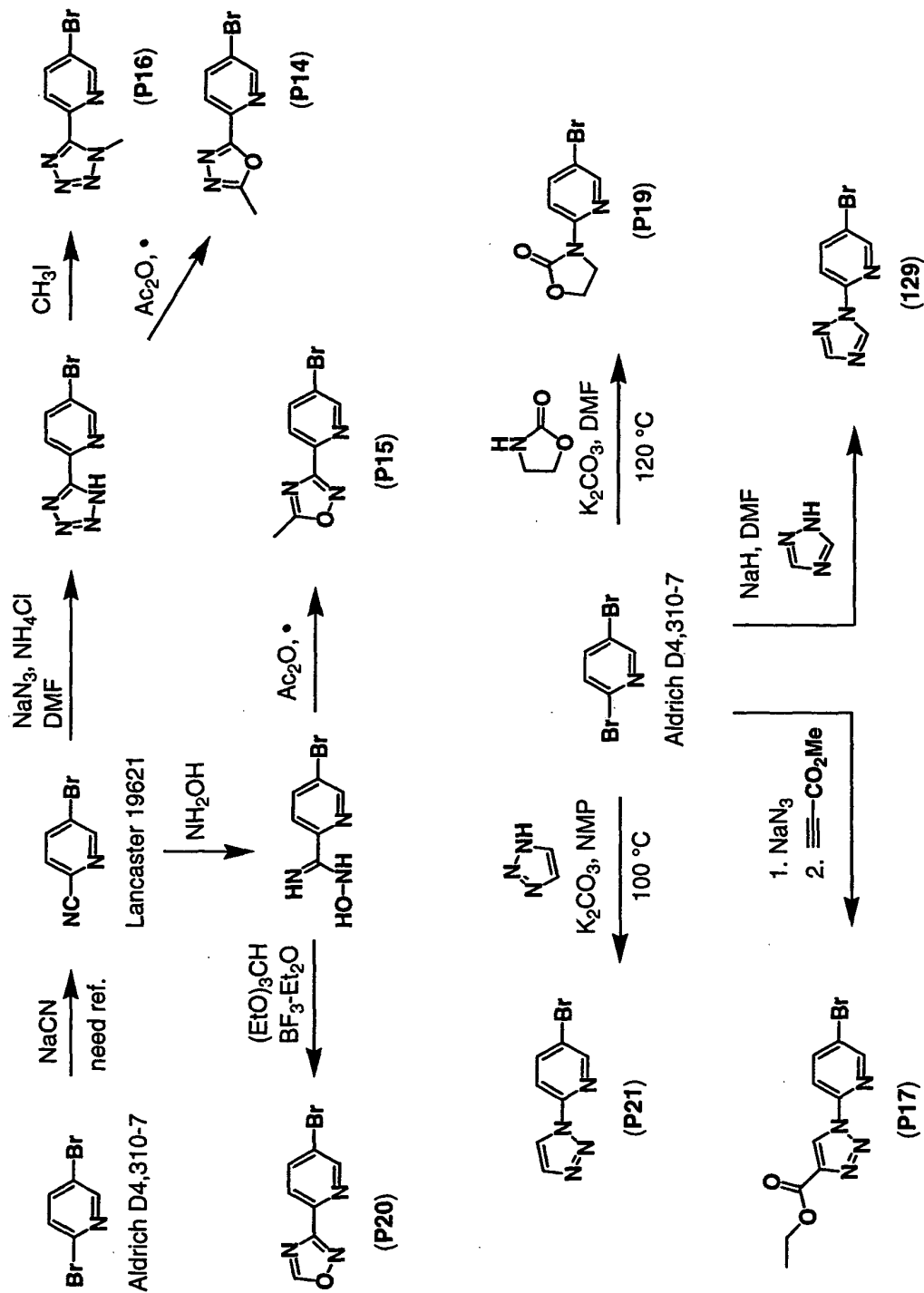
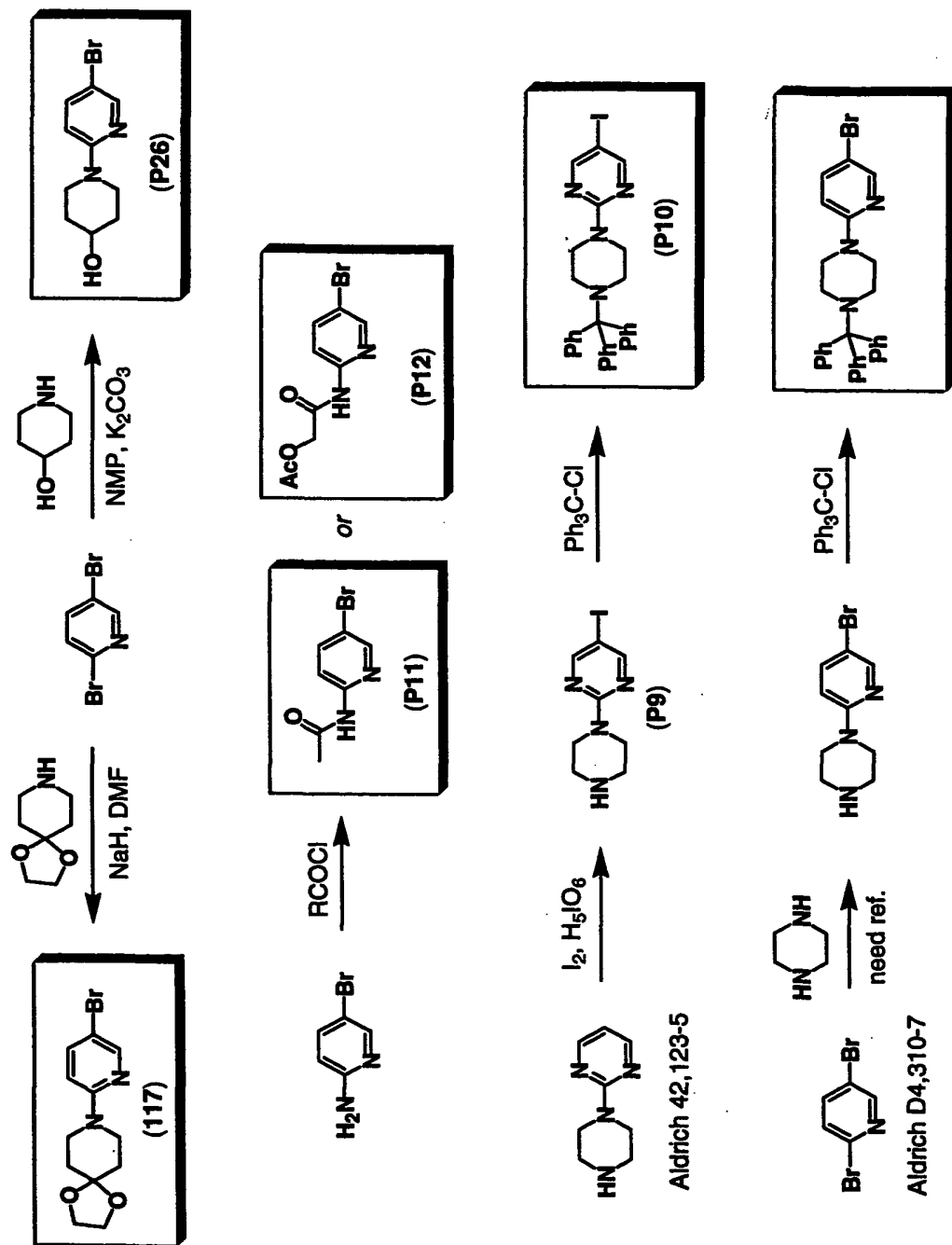


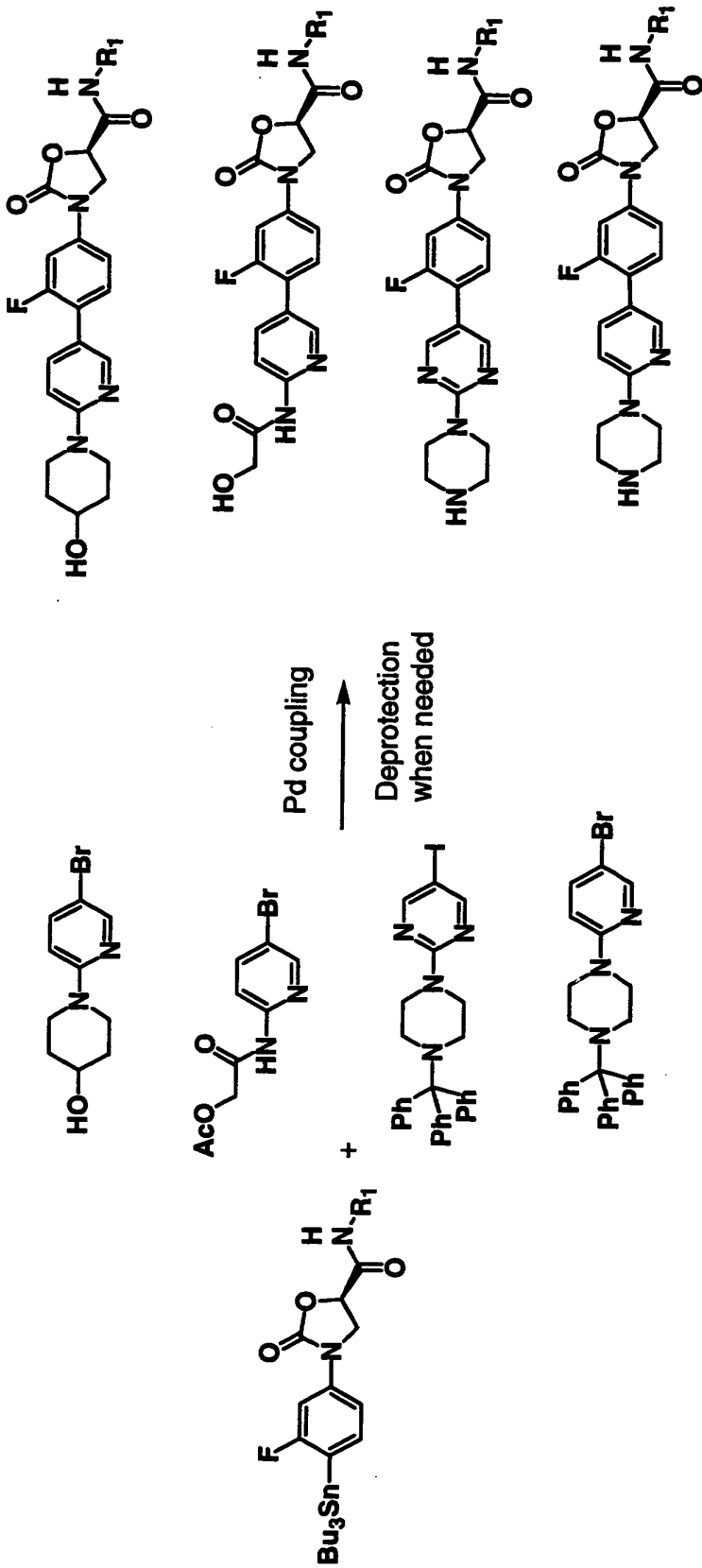
FIGURE III

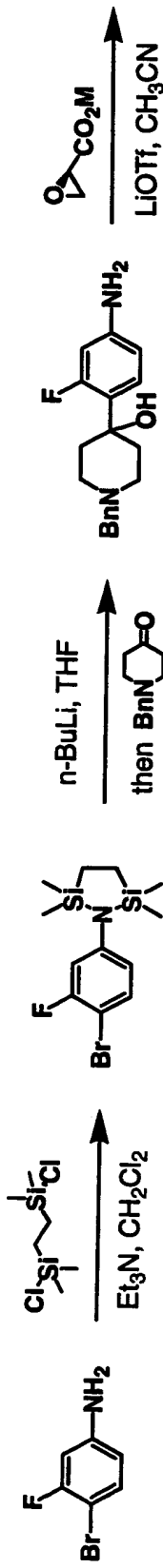
Synthetic Routes to Key Heterocyclic Pyridines/Pyrimidines





**FIGURE V**





**FIGURE VII**

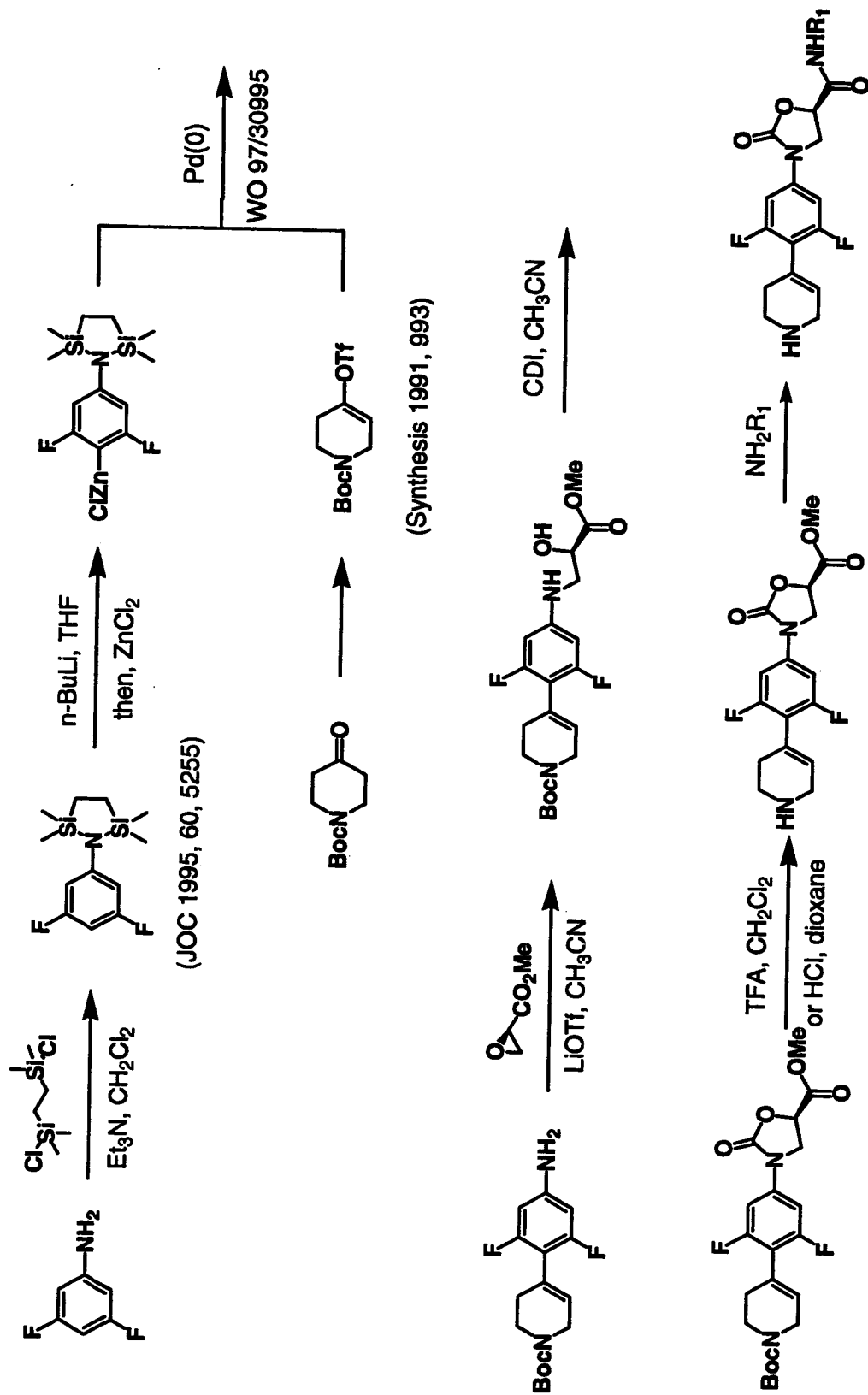
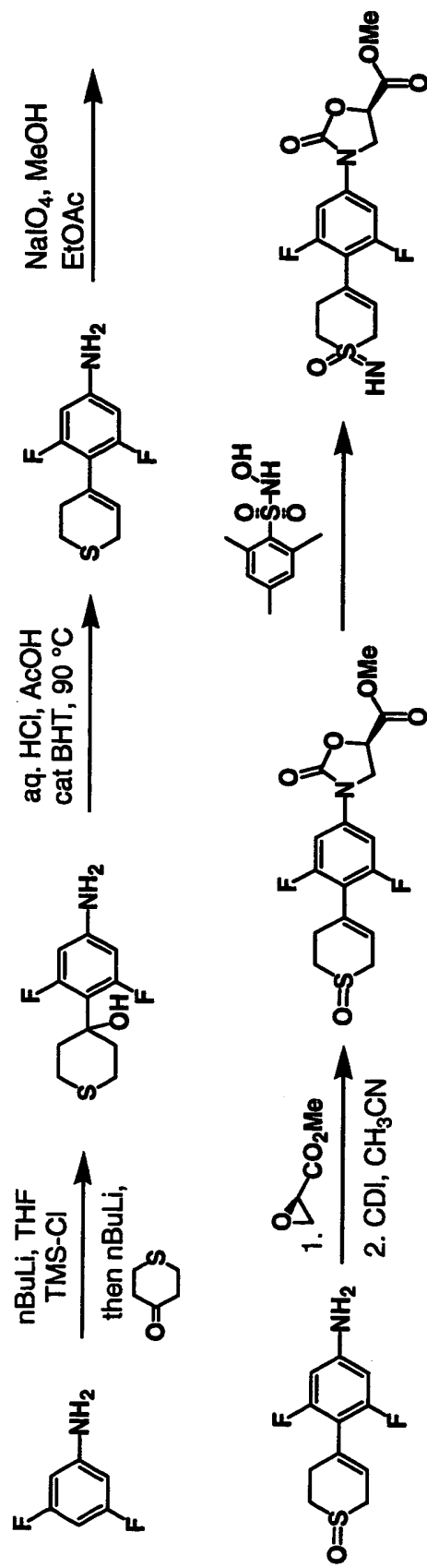


FIGURE VIII



Alternate route:

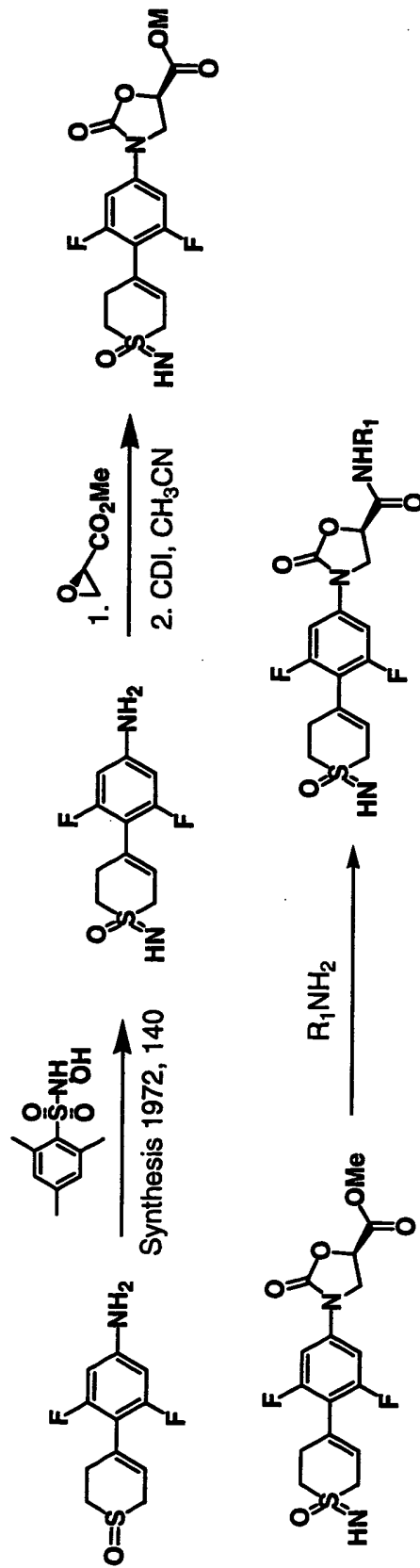
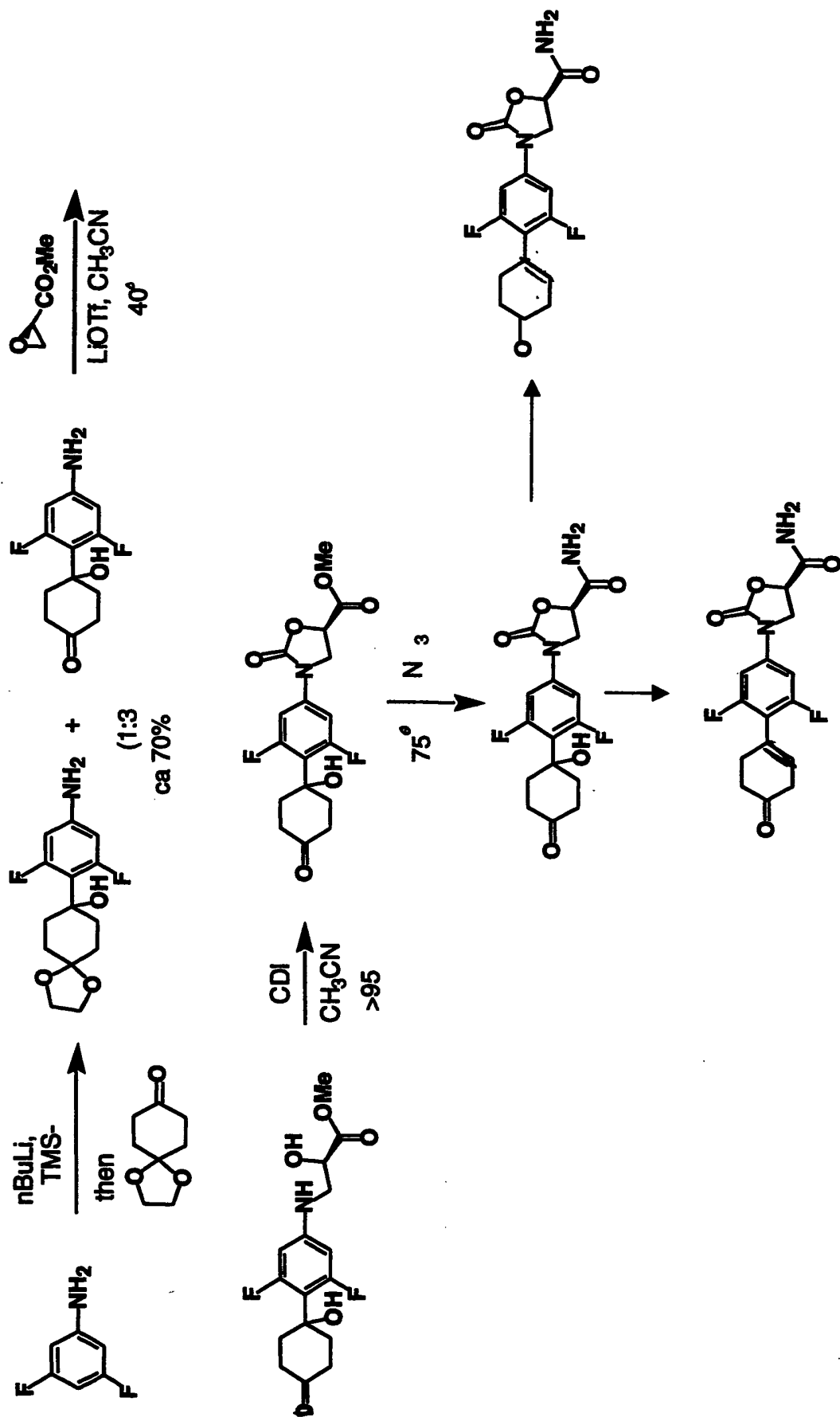
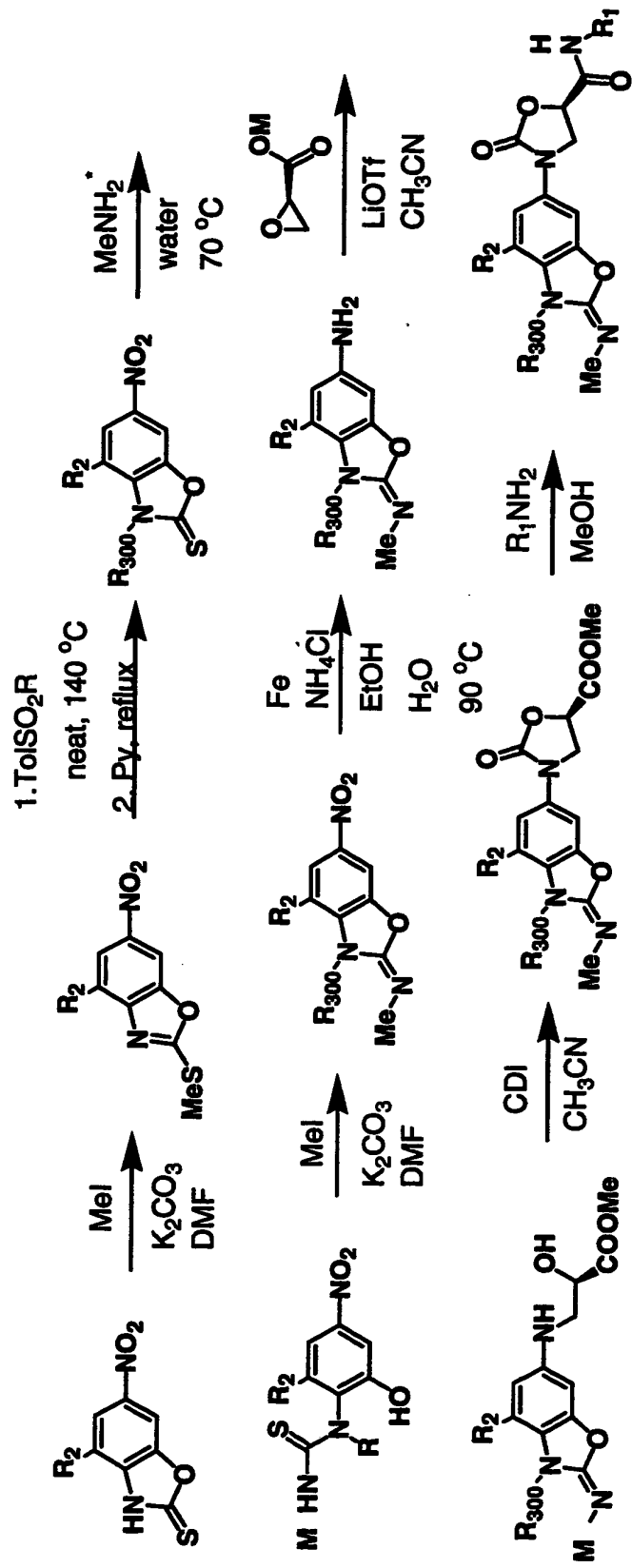




FIGURE IX



**FIGURE X**



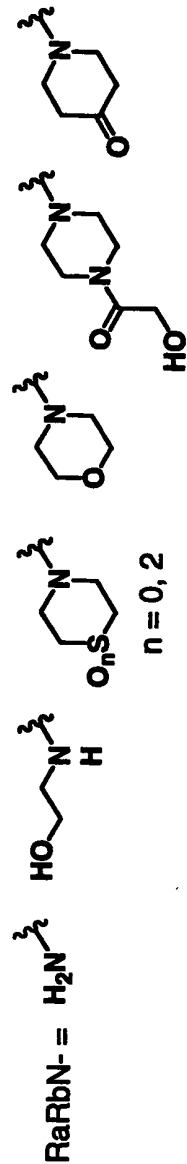
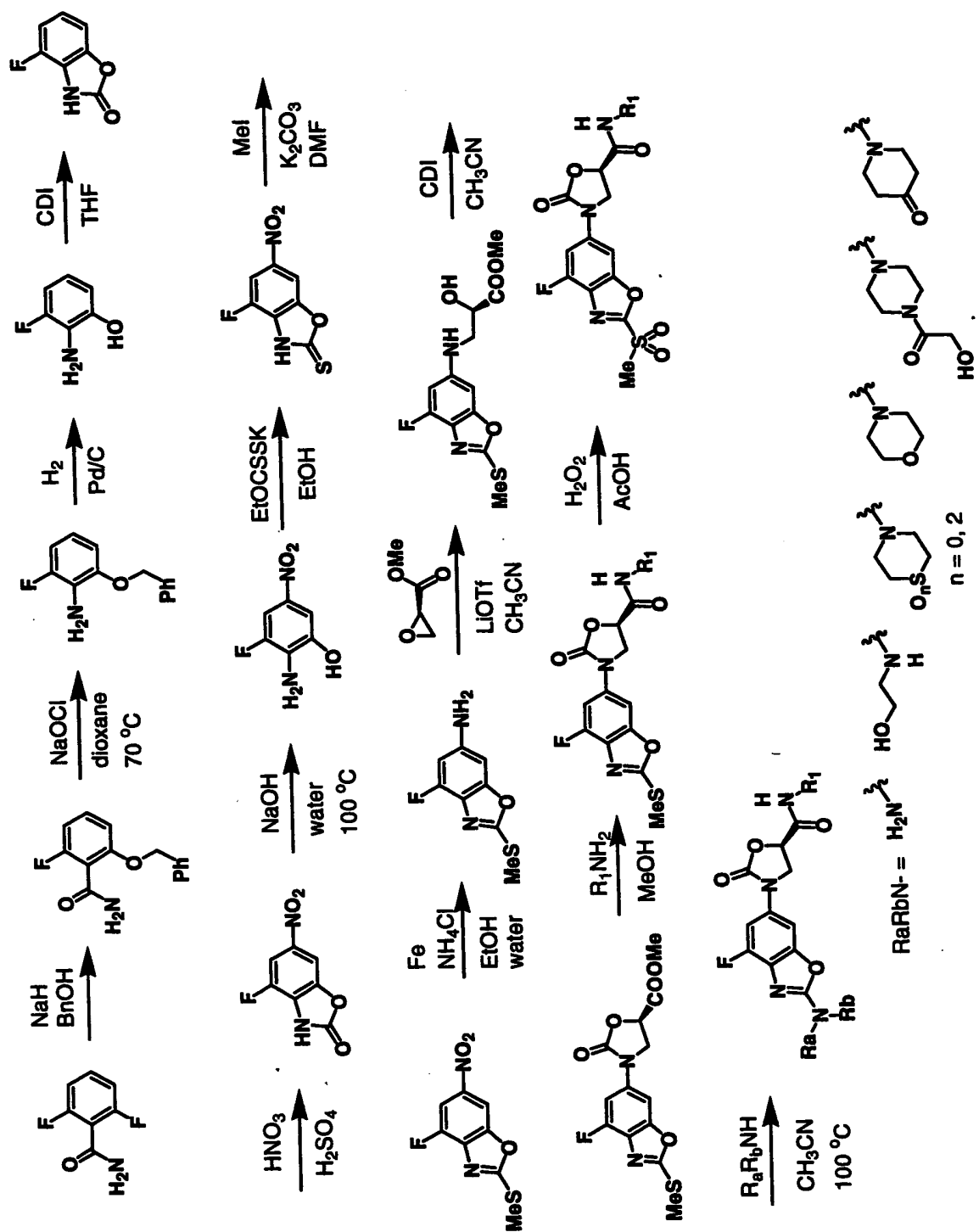
[illegible]

FIGURE XII



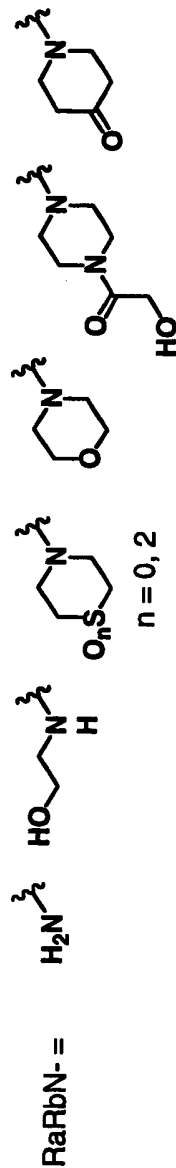
[illegible]

FIGURE XIV

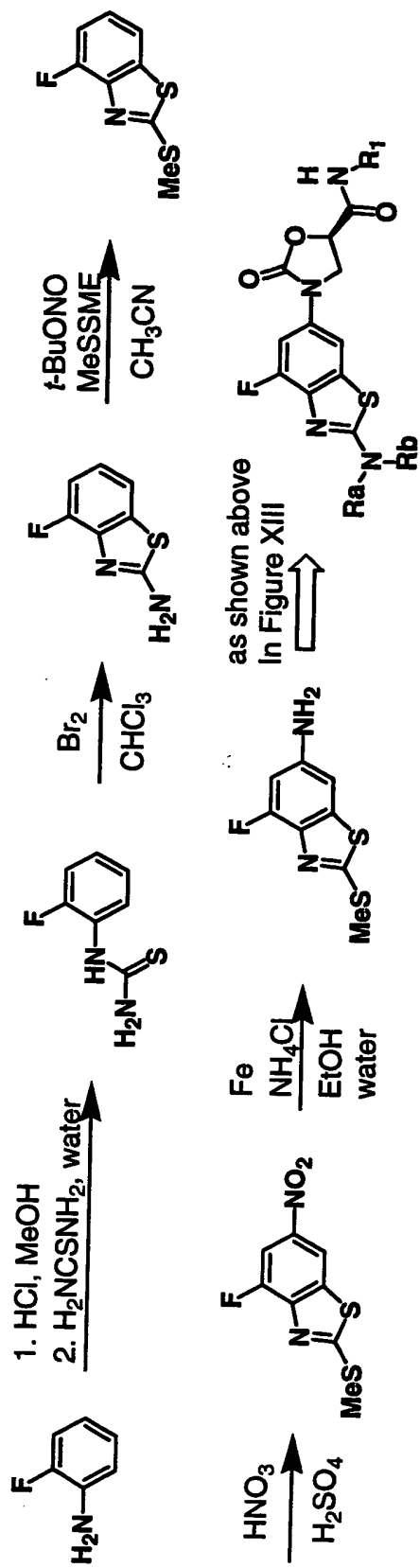


FIGURE XV

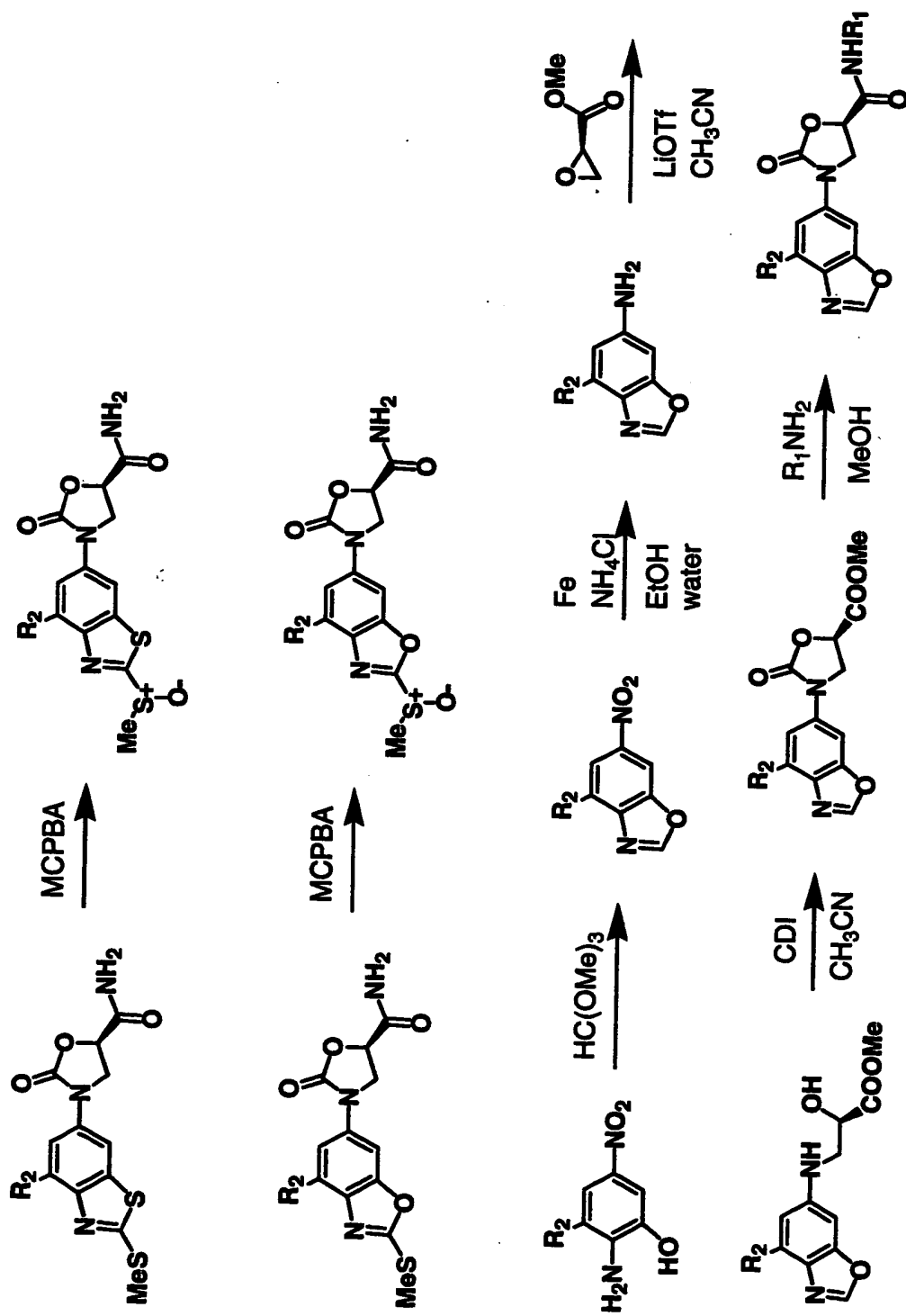






FIGURE XVII

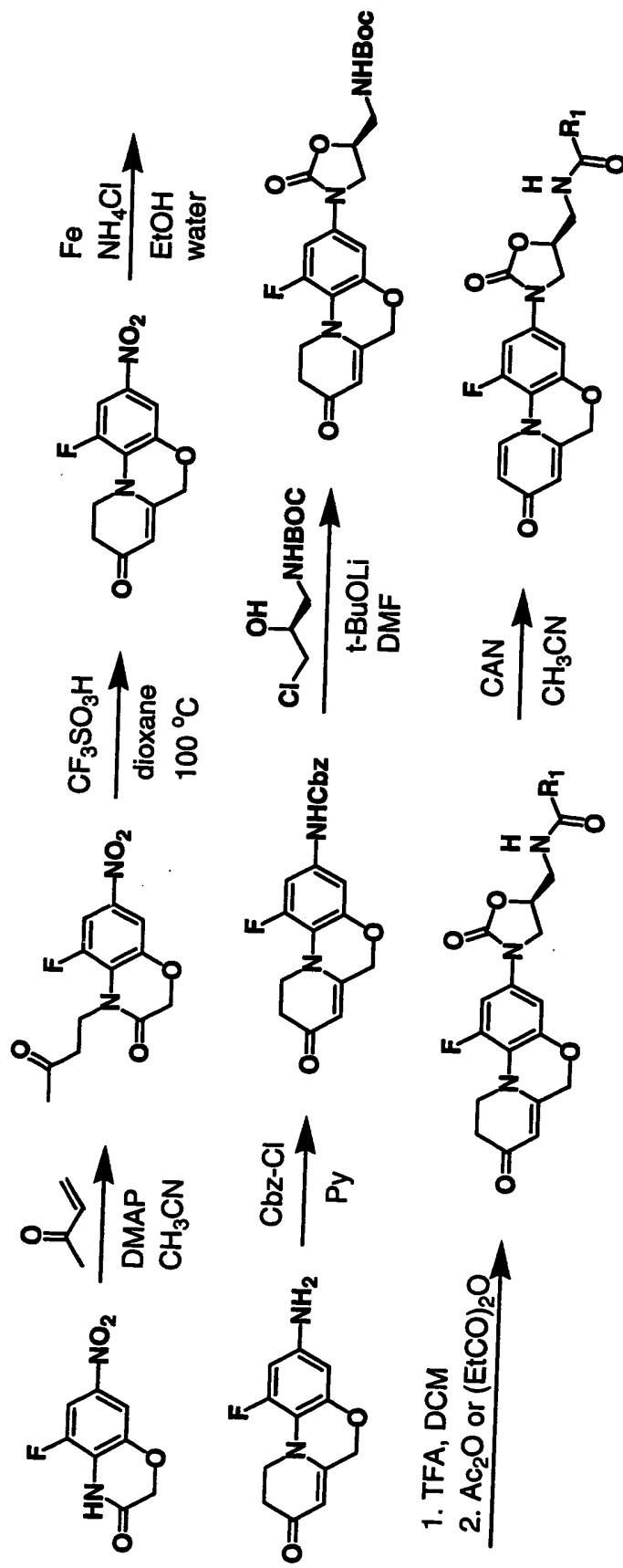


FIGURE XVIII

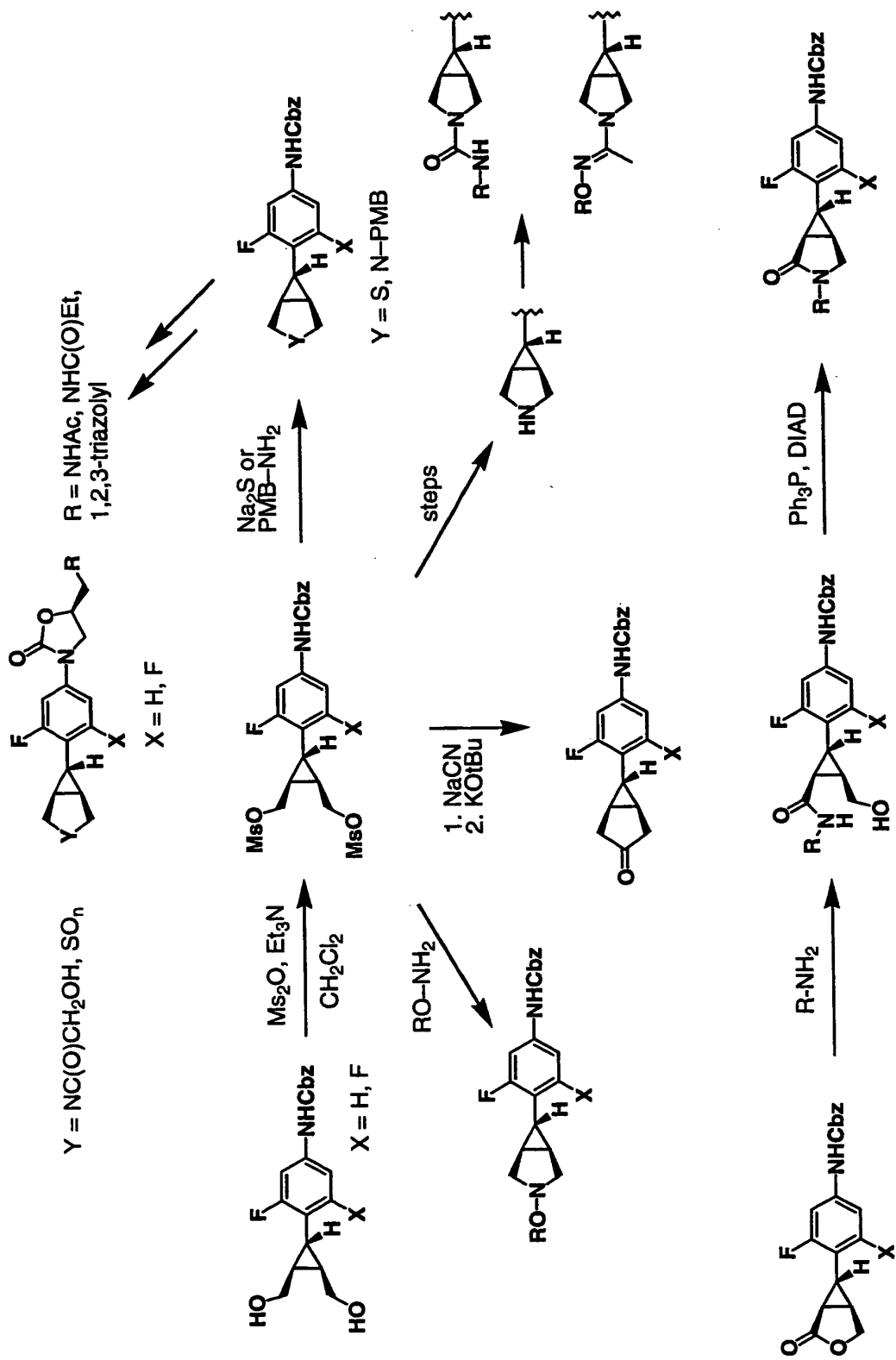


FIGURE XIX

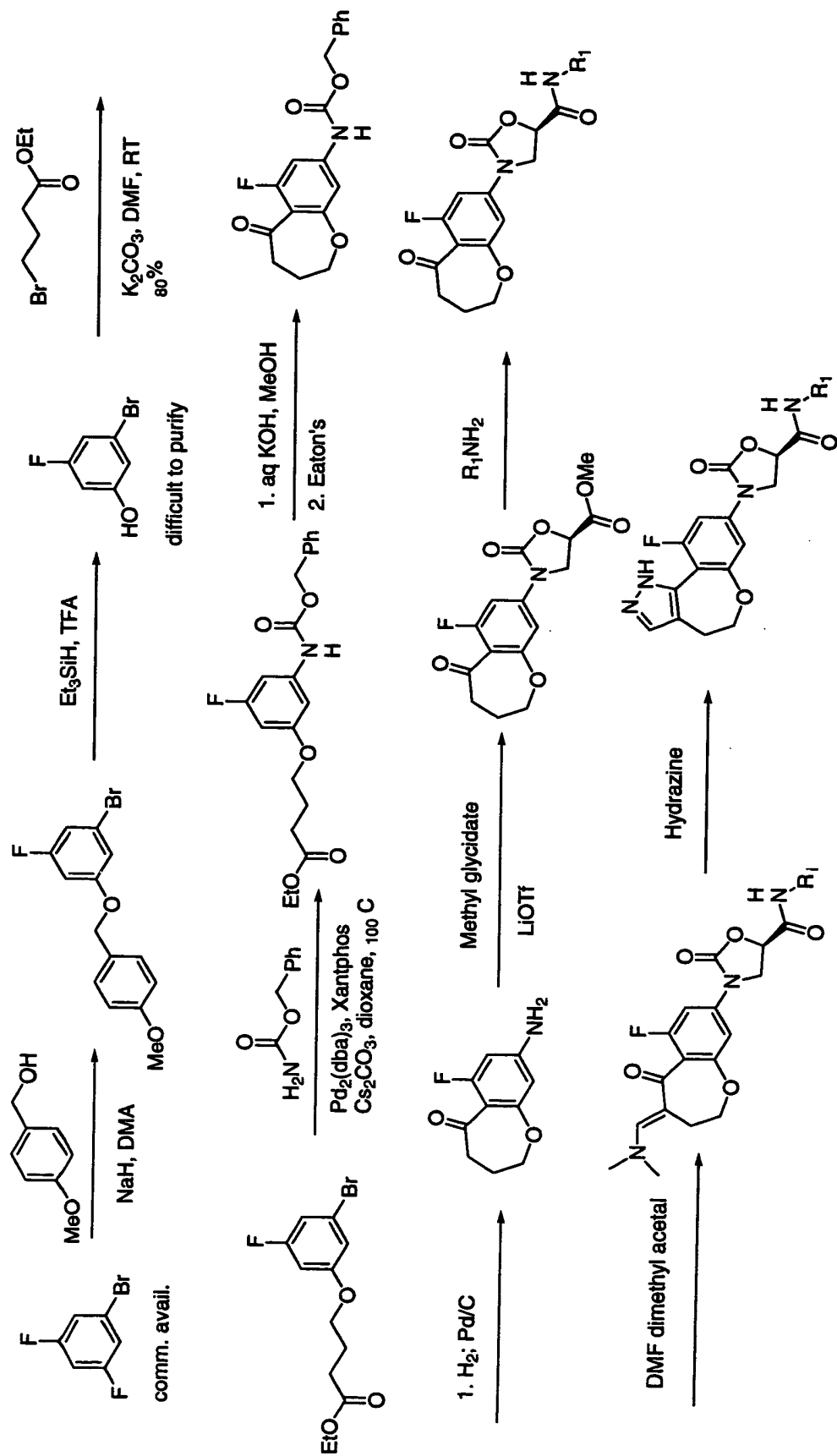


FIGURE XX

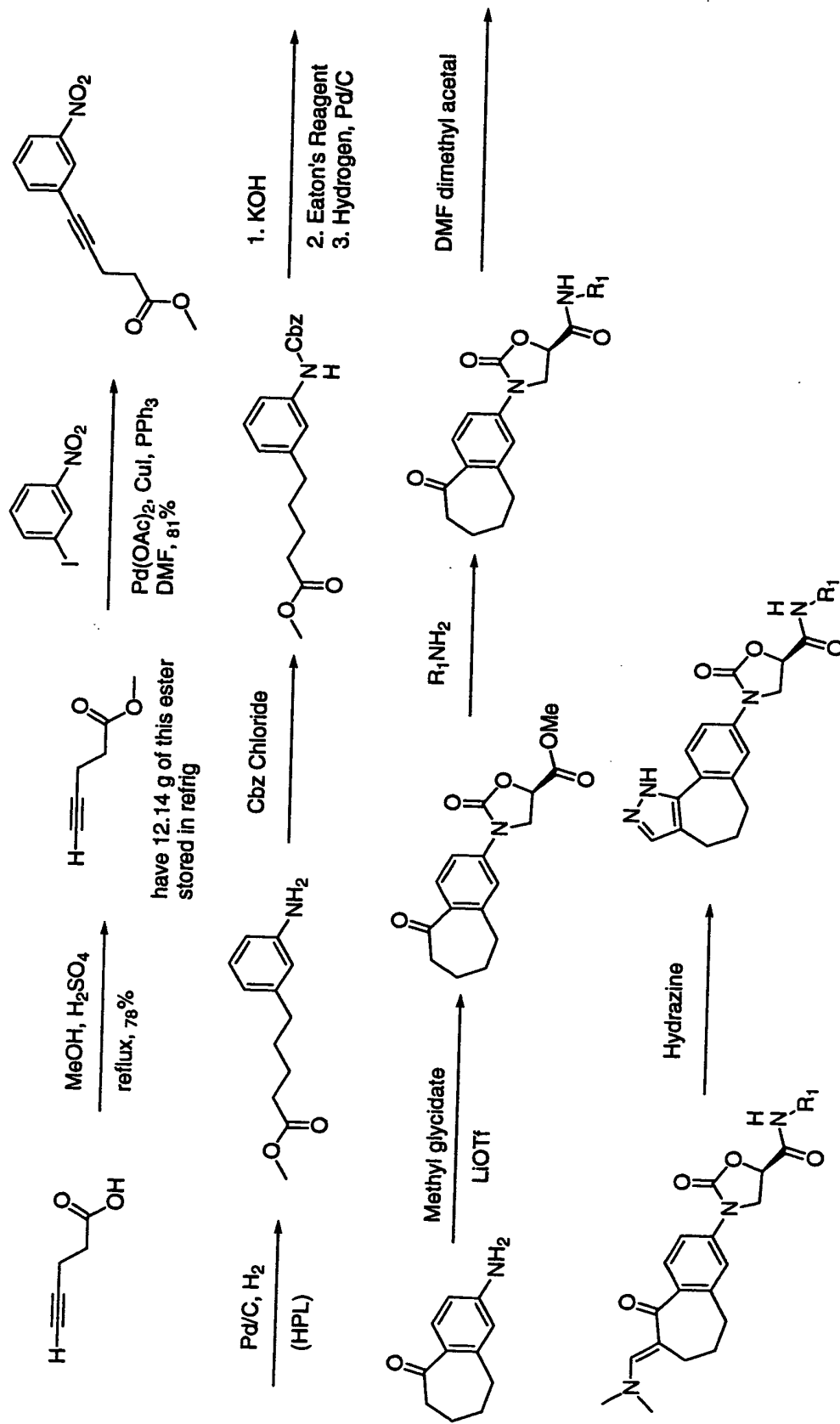
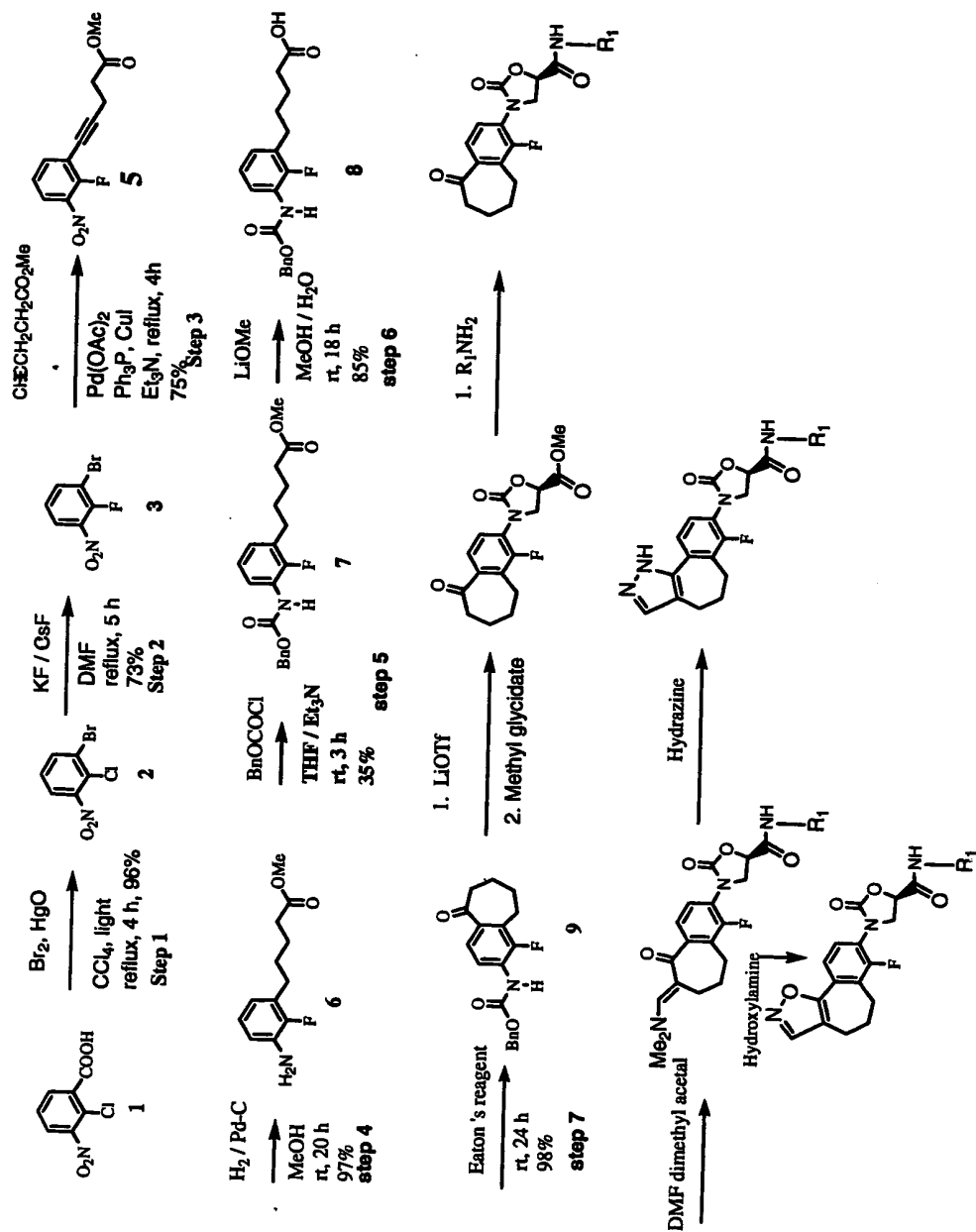


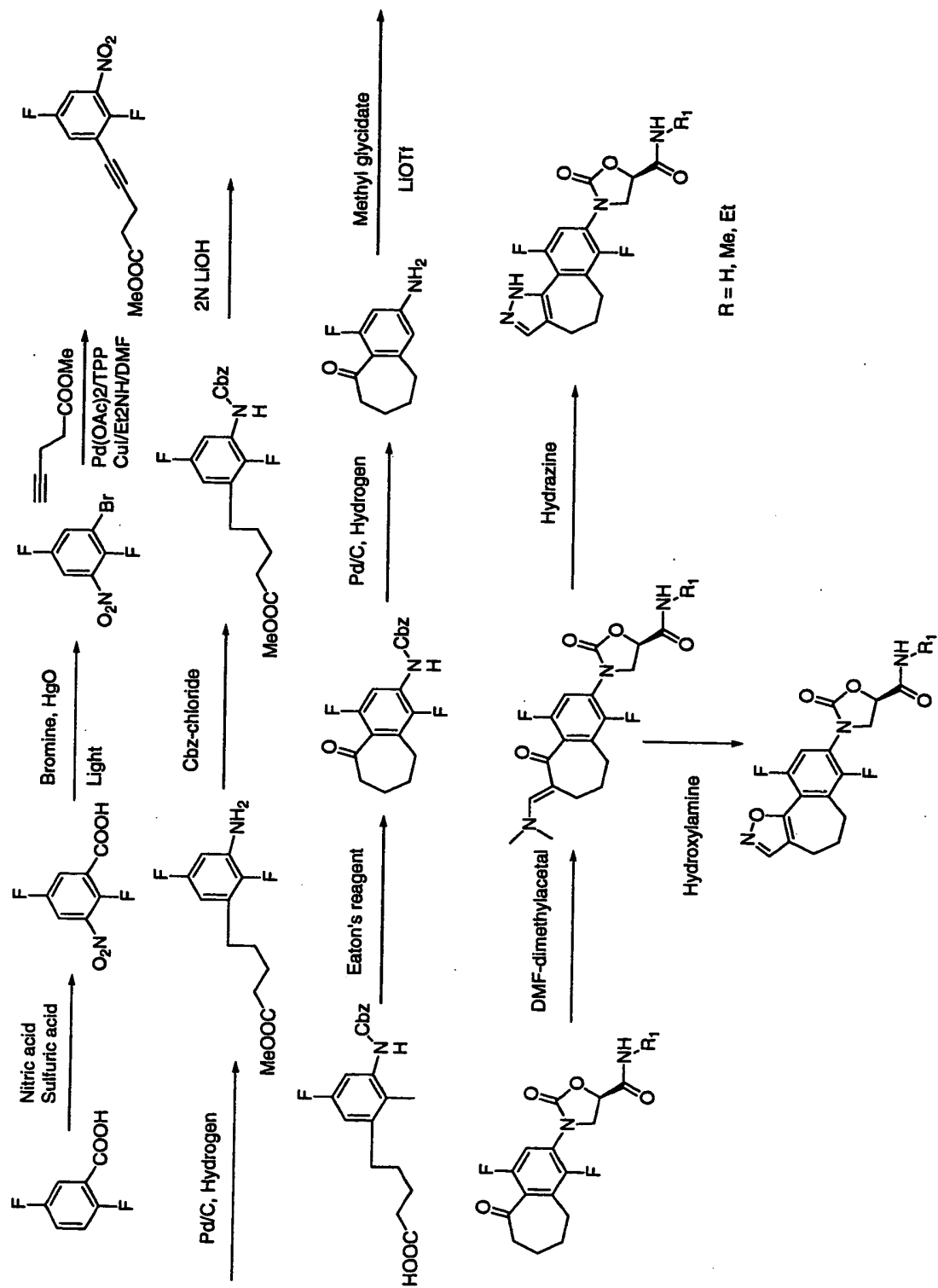
FIGURE XXI



[illegible]

**R = H, Me, Et**

FIGURE XXIII



The reaction scheme illustrates the synthesis of 1,2,3,4-tetrahydro-8H-benzo[7,8-b]pyrido[1,2-a]pyrimidin-8-one derivatives. The process begins with the reaction of 2-bromo-4,6-difluorobenzonitrile with methyl 3-ethynyl-3-oxopropionate in the presence of  $\text{Pd}(\text{OAc})_2/\text{TPP}$  and  $\text{CuI}/\text{Et}_2\text{NH}/\text{DMF}$  to form an intermediate. This intermediate is then subjected to a series of transformations: reduction with  $\text{LiOTf}$  in methyl glycidate, cyclization with  $\text{RNH}_2$ , and treatment with sodium azide to yield a diazo intermediate. The diazo intermediate is then converted to an azide using  $\text{Pd/C}$  and hydrogen, followed by reduction with  $\text{Pd/C}$  and hydrogen to form a primary amine. The primary amine is then cyclized using  $\text{DMF}$ -dimethylacetal to form a cyclic intermediate. Finally, the cyclic intermediate is treated with hydrazine or hydroxylamine to yield the final product, which is a 1,2,3,4-tetrahydro-8H-benzo[7,8-b]pyrido[1,2-a]pyrimidin-8-one derivative.





FIGURE XXVI

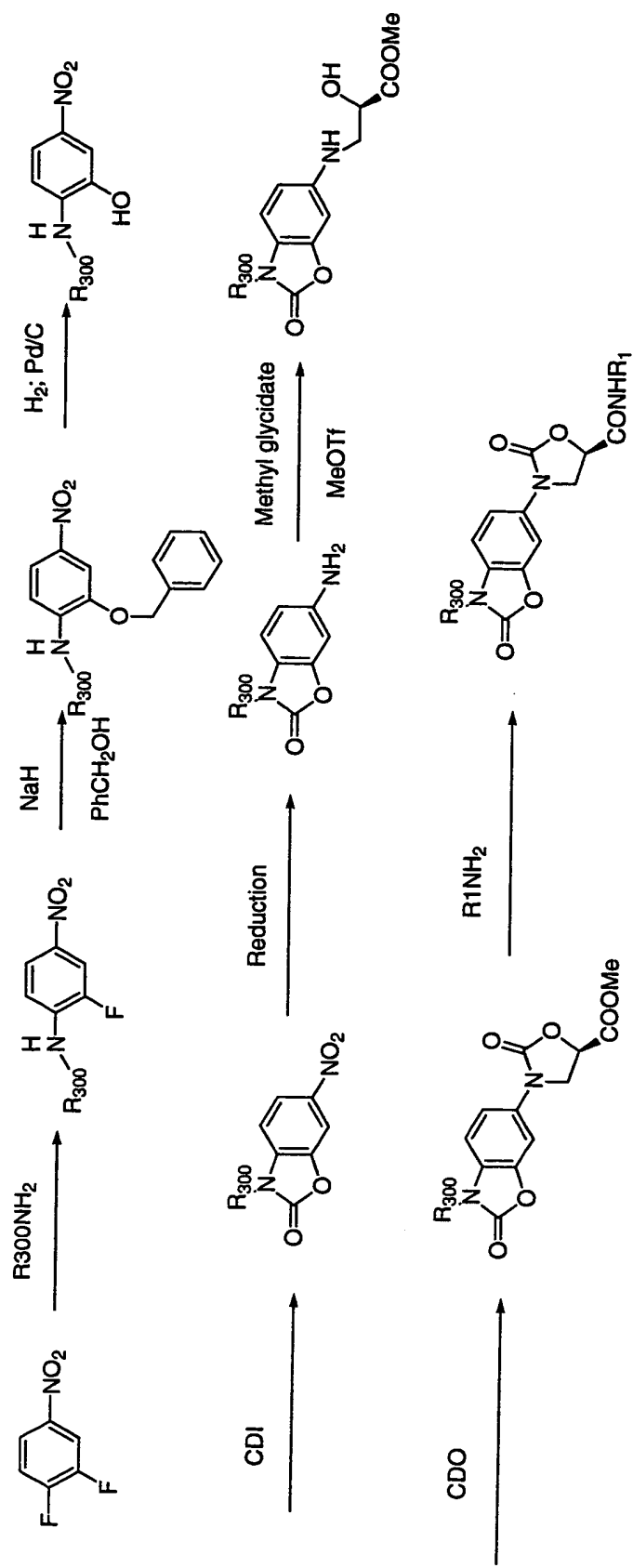


FIGURE XXVII

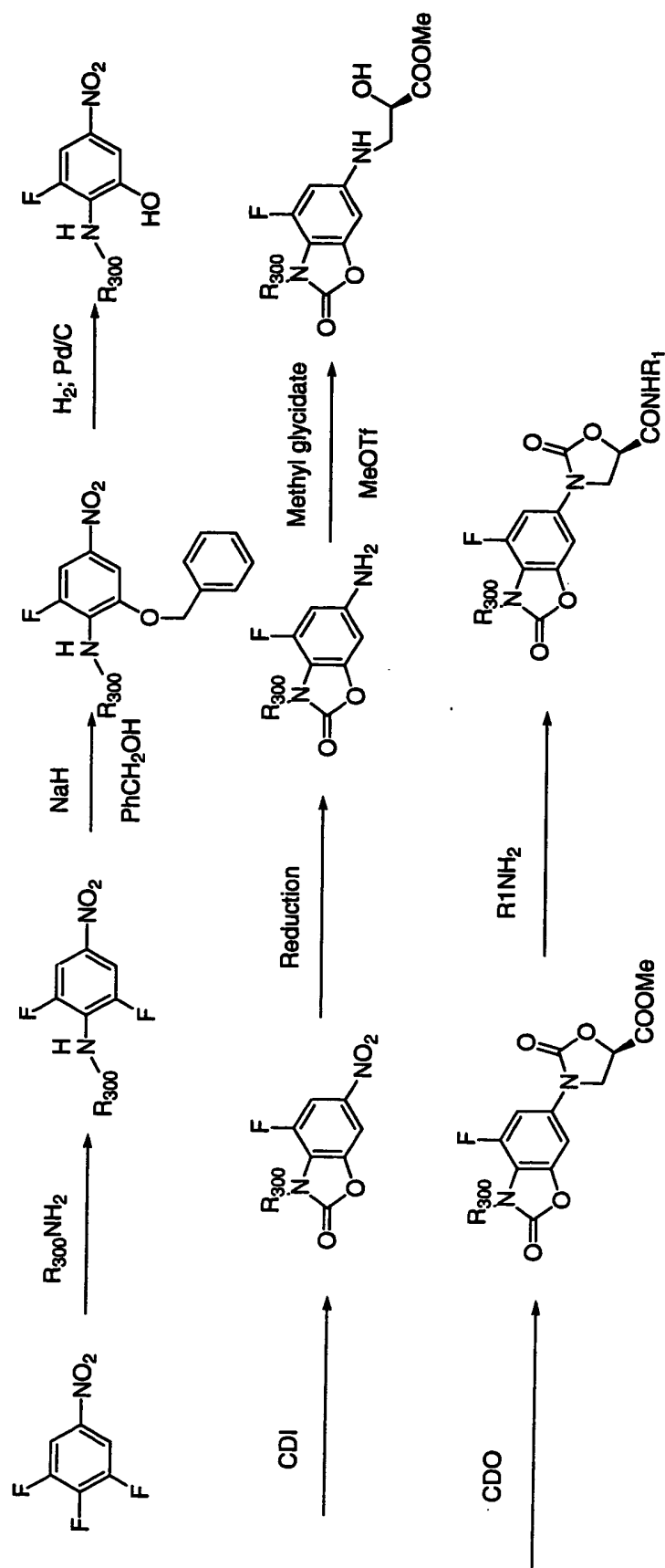
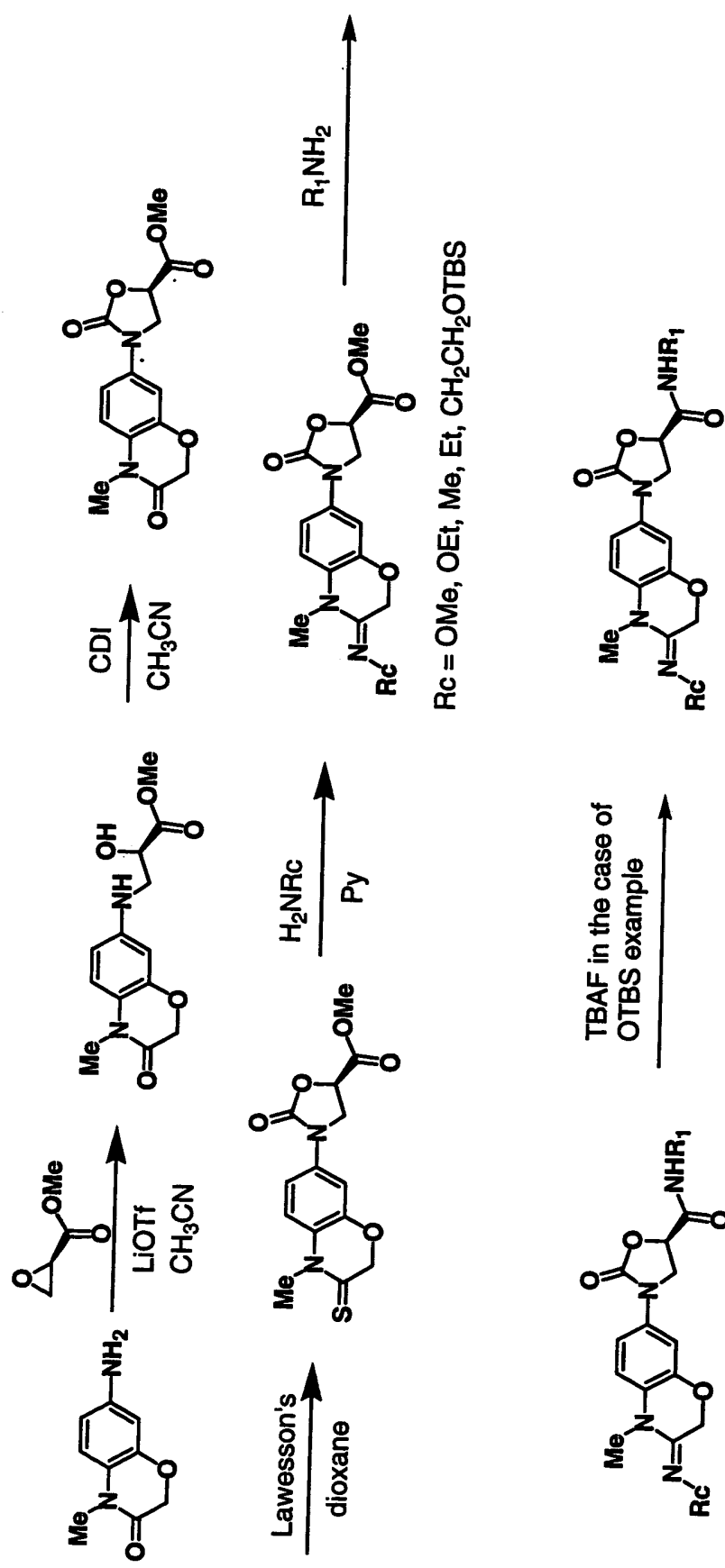


FIGURE XXVIII



$$R_d = \text{OMe, OEt, Me, Et, CH}_2\text{CH}_2\text{OTBS}$$

## OTBAF in the case of OTBS example